Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Vesatolimod

Catalog No: tcsc1352

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1228585-88-3

Formula:
$C_{22}H_{30}N_6O_2$

Pathway:
Immunology/Inflammation

Target:
Toll-like Receptor (TLR)

Purity / Grade:
>98%

Solubility:
DMSO : 4.8 mg/mL (11.69 mM; Need ultrasonic)

Alternative Names:
GS-9620

Observed Molecular Weight:
410.51

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Product Description

Vesatolimod (GS-9620) is a potent, selective and orally active agonist of **Toll-Like Receptor (TLR7)** with an **EC_{50}** of 291 nM.

IC50 & Target: EC50: 291 nM (TLR7), 9 μM (TLR8)[3]

In Vitro: Vesatolimod (GS-9620) rapidly internalizes into cells and preferentially localizes to and signals from endo-lysosomal compartments. To test this hypothesis, the kinetics of cellular uptake of the compound in Daudi cells using tritiated Vesatolimod (^{3}H-GS-9620) is measured. The kinetics of ^{3}H-GS-9620 accumulation is rapid, reaching concentration-dependent steady-state equilibrium in approximately thirty minutes. Measured intracellular concentration of ^{3}H-Vesatolimod is 5-fold higher than the extracellular concentration of ^{3}H-GS-9620 used to treat cells. Increases in intracellular ^{3}H-Vesatolimod concentrations are roughly proportional with increasing concentrations of ^{3}H-GS-9620[1].

In Vivo: Single oral doses of Vesatolimod (GS-9620) at 0.3 and 1 mg/kg in uninfected chimpanzees demonstrates a dose- and exposure-related induction of serum IFN-α, select cytokines/chemokines, and interferon-stimulated genes (ISG) in the peripheral blood and liver. Following oral administration at 0.3 (n=3), and 1 mg/kg (n=3 and n=4), Vesatolimod (GS-9620) C_{max} is 3.6±3.5, 36.8±34.5, and 55.4±81.0 nM, respectively. Peak serum interferon responses occur at 8 h post-dose. The mean peak levels of induced serum IFN-α are 66 and 479 pg/mL at doses of 0.3 and 1 mg/kg, respectively. Vesatolimod (GS-9620) treatment induces ISG transcripts including ISG15, OAS-1, MX1, IP-10 (CXCL10), and I-TAC (CXCL11) in peripheral blood mononuclear cells (PBMC) at 0.3 mg/kg and in both PBMC and the liver at 1 mg/kg[2].